

contd. A² wherein said non-cyclic spacer separates A from one of said carbocyclic or heterocyclic radicals by 1 to 10 atoms;

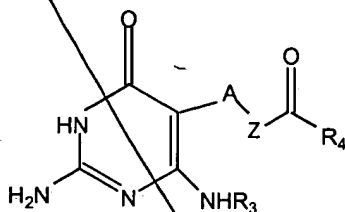
Sub B² R₃ represents H or a straight, branched or cyclic (C₁ to C₆) alkyl group, optionally carrying one or more hydroxyl or amine groups; and

R₄ represents hydroxy, (C₁ to C₆) alkyloxy group optionally carrying one or more hydroxyl or amine groups, or a protected or unprotected amino acid linked to the acyl group of formula V by the amine portion of the amino acid;

or a pharmaceutically acceptable salt thereof.

53. A compound according to Claim 52 wherein Z represents a substituted or unsubstituted mono- or fused or nonfused poly-heterocyclic radical.

54. A process for preparing a compound having the formula V



wherein:

A represents sulfur or selenium;

Z represents 1) a substituted or unsubstituted non-cyclic spacer which separates A from the carbonyl carbon of the amido group by 1 to 10 atoms, said atoms being independently selected from carbon, oxygen, sulfur, nitrogen and phosphorous; 2) a substituted or unsubstituted mono- or fused or nonfused poly-carbocyclic or heterocyclic radical; or 3) a combination of at least one of said non-cyclic spacer and at least one of said carbocyclic or heterocyclic radical,

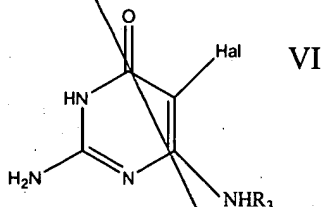
contd.
2
wherein said non-cyclic spacer separates A from one of said carbocyclic or heterocyclic radicals by 1 to 10 atoms;

R_3 represents H or a straight, branched or cyclic (C_1 to C_6) alkyl group, optionally carrying one or more hydroxyl or amine groups; and

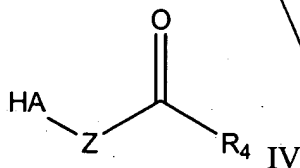
5b
3
 R_4 represents hydroxy, (C_1 to C_6) alkyloxy group optionally carrying one or more hydroxyl or amine groups, or a protected or unprotected amino acid linked to the acyl group of formula V by the amine portion of the amino acid;

or a pharmaceutically acceptable salt thereof;

which process comprises reacting a compound having the formula VI



wherein Hal is bromine, chlorine, iodine, or fluorine, and R_3 is as defined above, with a compound having the formula IV



wherein A, Z, and R_4 are as defined above, in the presence of a nonnucleophilic auxiliary base in a solvent in which at least one of said reactants is at least partially soluble under conditions sufficient to obtain the compound of formula V.

55. A process according to claim 54 wherein the non-nucleophilic auxiliary

contd.
a²
base is selected from alkali or earth metal carbonates and trialkyl amines.

56. A process according to claim 55 wherein the solvent is a dipolar aprotic solvent.

57. A process according to claim 56 wherein the solvent is selected from dimethylsulfoxide, N,N-dimethylformamide, N,N-dimethylacetamide, and N-methyl-2-pyrrolidinone.

58. A process according to claim 54 wherein A represents sulfur and Z represents $-(CH_2)_n-X-Ar-$ wherein

n is an integer from 0 to 5,

X represents a methylene, monocyclic carbo- or heterocyclic ring, sulfur, oxygen or amino radical, optionally carrying one or more substituents independently selected from C₁ to C₆ alkyl or C₂ to C₆ alkenyl groups, C₁ to C₆ alkoxy or C₁ to C₆ alkoxy(C₁ to C₆) alkyl groups, C₂ to C₆ alkynyl groups, acyl groups, halogen, amino groups, hydroxyl groups, nitro groups or mercapto groups, monocyclic carbo- or heterocyclic rings, and fused or non-fused poly-carbocyclic or poly-heterocyclic rings; and

Ar represents a monocyclic carbo- or heterocyclic aromatic ring or a bicyclic carbo- or heterocyclic ring, all or a portion of which may be aromatic, and wherein the Ar may be fused to the monocyclic carbo- or heterocyclic ring of X, and wherein the Ar optionally carries one or more substituents independently selected from C₁ to C₆ alkyl or C₂ to C₆ alkenyl groups, C₁ to C₆ alkoxy or C₁ to C₆ alkoxy(C₁ to C₆)alkyl groups, C₂ to C₆ alkynyl groups, acyl groups,

contd. Sub B4 Q2

halogen, amino groups, hydroxyl groups, nitro groups or mercapto groups, monocyclic carbo- or heterocyclic rings, and fused or non-fused poly-carbocyclic or poly-heterocyclic rings.

59. A process according to claim 58 wherein the non-nucleophilic auxiliary base is selected from alkali or earth metal carbonates and trialkylamines.

60. A process according to claim 59 wherein the solvent is a dipolar aprotic solvent.

61. A process according to claim 60 wherein the solvent is selected from dimethylsulfoxide, N,N-dimethylformamide, N,N-dimethylacetamide, and N-methyl-2-pyrrolidinone.

REMARKS

The claims are 52-61.

Support for Claims 52-61 can be found in the Specifications and Claims as originally filed. No new matter has been added. Favorable consideration and early passage to issue is respectfully requested.